09/845,742

09567863

FILE 'REGISTRY' ENTERED AT 14:13:27 ON 12 DEC 2003
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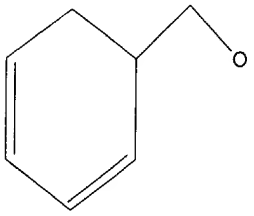
=>
Uploading 09845742.str

L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l5 full
FULL SEARCH INITIATED 14:13:46 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

< 6.3% PROCESSED 400000 ITERATIONS 171756 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.07

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 1000000

L6 171756 SEA SSS FUL L5

=> file caplus

09567863

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.15	241.94

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.65

FILE 'CAPLUS' ENTERED AT 14:14:02 ON 12 DEC 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 12 Dec 2003 VOL 139 ISS 25
FILE LAST UPDATED: 11 Dec 2003 (20031211/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

*** YOU HAVE NEW MAIL ***

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=> s l6 and cycloaddition?
          9193 L6
         31056 CYCLOADDITION?
L7         143 L6 AND CYCLOADDITION?

=> s l7 and dienophil?
          4849 DIENOPHIL?
L8           5 L7 AND DIENOPHIL?

=> d l8 bib abs hitstr 1-5

L8   ANSWER 1 OF 5  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   2003:665640  CAPLUS
DN   139:350776
TI   Design of chiral boronate-substituted acrylanilides. Self-activation and
     boron-transmitted 1,8-stereoinduction in [4+2] cycloaddition
AU   Kennedy, Jason W. J.; Hall, Dennis G.
CS   Department of Chemistry, University of Alberta, Edmonton, AB, W5-07, Can.
SO   Journal of Organometallic Chemistry (2003), 680(1-2), 263-270
     CODEN: JORCAI; ISSN: 0022-328X
PB   Elsevier Science B.V.
DT   Journal
LA   English
GI
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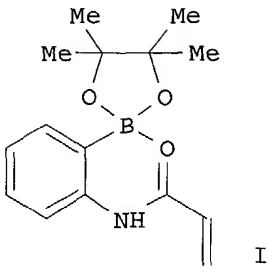
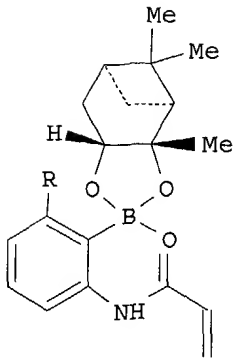


I



II

AB The [4+2] cycloaddn. of ortho-boronoanilide **dienophile** 4 (shown as I) with cyclopentadiene proceeds faster than the reaction of both its para isomer 8 and the unsubstituted acrylanilide 6, thereby confirming that self-activation by internal coordination is operative in the case of 4. Chiral boronic esters 9, 10 (shown as II, R = H, Me) and analogous boronate esters of (R,R)-1,2-dicyclohexyl-1,2-ethanediol and (R,R)-1,4-dimethoxy-1,1,4,4-tetraphenyl-2,3-butanediol provided a small level of remote 1,8-stereoinduction in the cycloaddn. with cyclopentadiene transmitted through a putative tetrahedral stereogenic boronate complex. These results show that dialkoxyboronic esters can operate as weak, internal Lewis acids and activate carbonyl-contg. functionalities in cycloaddn. reactions.

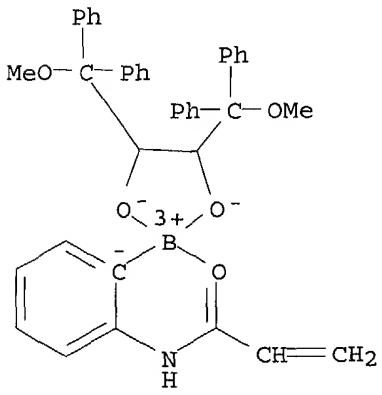
IT **616227-12-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(Diels-Alder cycloaddn.; prepn. of asym. ortho-boronato-substituted acrylanilides activated by intramol. coordination in [4+2] cycloaddn. with cyclopentadiene)

RN 616227-12-4 CAPLUS

CN Boron, [(2R,3R)-1,4-dimethoxy-1,1,4,4-tetraphenyl-2,3-butanediolato(2-)-.kappa.O,.kappa.O'][2-[[1-(oxo-.kappa.O)-2-propenyl]amino]phenyl-.kappa.C]-, (T-4)- (9CI) (CA INDEX NAME)



IT **616227-19-1P 616864-44-9P 616864-45-0P 616864-46-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)

(Diels-Alder cycloadduct; prepn. of asym. ortho-boronato-substituted acrylanilides activated by intramol. coordination in [4+2] cycloaddn. with cyclopentadiene)

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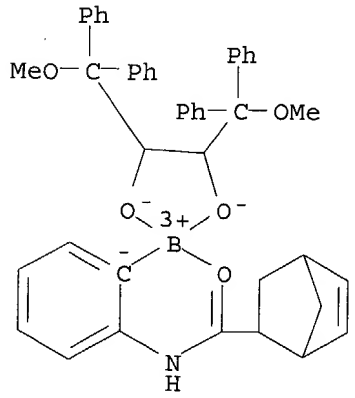
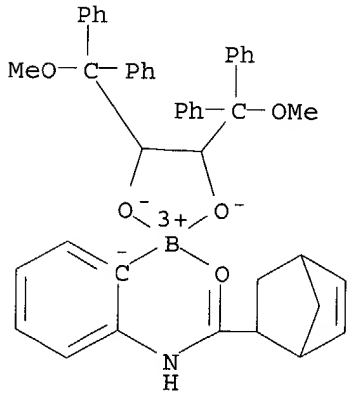
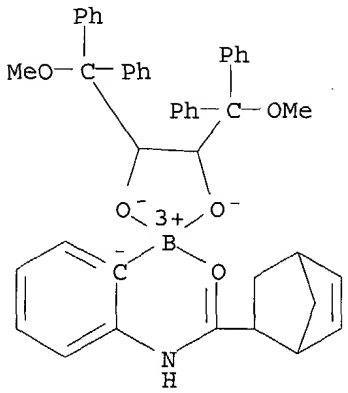
RN 616227-19-1 CAPLUS
CN Boron, [2-[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-yl]carbonyl-
.kappa.O]amino]phenyl-.kappa.C][(2R,3R)-1,4-dimethoxy-1,1,4,4-tetraphenyl-
2,3-butanediolato(2-)-.kappa.O,.kappa.O']-, (T-4)- (9CI) (CA INDEX NAME)



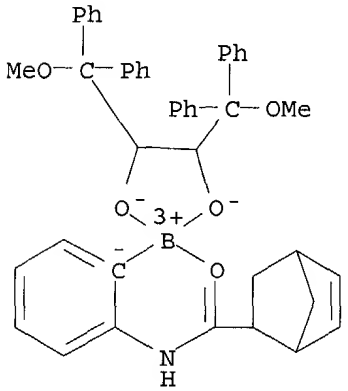
RN 616864-44-9 CAPLUS
CN Boron, [2-[[[(1S,2S,4S)-bicyclo[2.2.1]hept-5-en-2-yl]carbonyl-
.kappa.O]amino]phenyl-.kappa.C][(2R,3R)-1,4-dimethoxy-1,1,4,4-tetraphenyl-
2,3-butanediolato(2-)-.kappa.O,.kappa.O']-, (T-4)- (9CI) (CA INDEX NAME)



RN 616864-45-0 CAPLUS
CN Boron, [2-[[[(1R,2S,4R)-bicyclo[2.2.1]hept-5-en-2-yl]carbonyl-
.kappa.O]amino]phenyl-.kappa.C][(2R,3R)-1,4-dimethoxy-1,1,4,4-tetraphenyl-
2,3-butanediolato(2-)-.kappa.O,.kappa.O']-, (T-4)- (9CI) (CA INDEX NAME)

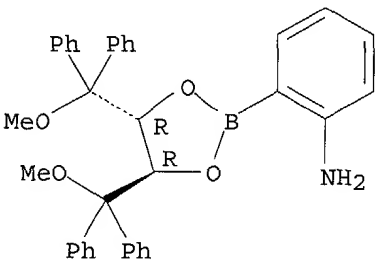


RN 616864-46-1 CAPLUS
CN Boron, [2-[[[(1S,2R,4S)-bicyclo[2.2.1]hept-5-en-2-yl]carbonyl-.kappa.O]amino]phenyl-.kappa.C][(2R,3R)-1,4-dimethoxy-1,1,4,4-tetraphenyl-2,3-butanediolato(2-)-.kappa.O,.kappa.O']-, (T-4)- (9CI) (CA INDEX NAME)



IT **616227-08-8P**, 2-Aminophenylboronic acid (2R,3R)-1,4-dimethoxy-1,1,4,4-tetraphenyl-2,3-butanediol ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(acylation; prepn. of asym. ortho-boronato-substituted acrylanilides activated by intramol. coordination in [4+2] cycloaddn. with cyclopentadiene)
RN 616227-08-8 CAPLUS
CN Benzenamine, 2-[(4R,5R)-4,5-bis(methoxydiphenylmethyl)-1,3,2-dioxaborolan-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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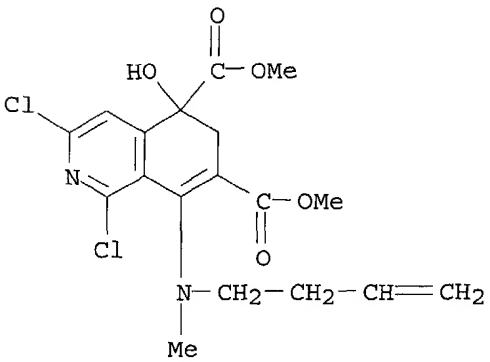
RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:331234 CAPLUS
DN 139:53188
TI Studies on Intramolecular Diels-Alder Reactions of Furo[3,4-c]pyridines in the Synthesis of Conformationally Restricted Analogues of Nicotine and Anabasine
AU Sarkar, Tarun K.; Basak, Sankar; Slanina, Zdenek; Chow, Tahsin J.
CS Department of Chemistry, Indian Institute of Technology, Kharagpur, 721302, India
SO Journal of Organic Chemistry (2003), 68(11), 4206-4214
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 139:53188
AB En route to conformationally restricted analogs of nicotine and anabasine, a novel synthetic route to bridged anabasines is described that hinges on a domino intramol. [4 + 2]-cycloaddn./ring opening-elimination sequence of 3-amino-substituted furo[3,4-c]pyridines. Extension of this route to bridged nicotines, however, proved abortive, even when the **dienophile** tether is activated by a p-tolylsulfonyl group or when the diene moiety is activated by an electron-releasing methoxy substituent. A detailed d. functional theor. study (B3LYP/6-31+G**) was undertaken to provide insight into the factors that facilitate an intramol. Diels-Alder reaction in the former case.
IT **544418-34-0P**
RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(intramol. Diels-Alder reactions of furo[3,4-c]pyridines in the synthesis of conformationally restricted analogs of nicotine and anabasine)
RN 544418-34-0 CAPLUS
CN 5,7-Isoquinolinedicarboxylic acid, 8-(3-butenylmethylamino)-1,3-dichloro-5,6-dihydro-5-hydroxy-, dimethyl ester (9CI) (CA INDEX NAME)



RE.CNT 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:151529 CAPLUS
DN 139:6702
TI Establishing a library of porphyrin building blocks for superstructured assemblies: Porphyrin dienes and **dienophiles** for

09567863

cycloaddition reactions

AU Gunter, Maxwell J.; Tang, Hesheng; Warrener, Ronald N.

CS Division of Chemistry, University of New England, Armidale, NSW 2351, Australia

SO Journal of Porphyrins and Phthalocyanines (2002), 6(11 & 12), 673-684
CODEN: JPPHFZ; ISSN: 1088-4246

PB Society of Porphyrins & Phthalocyanines

DT Journal

LA English

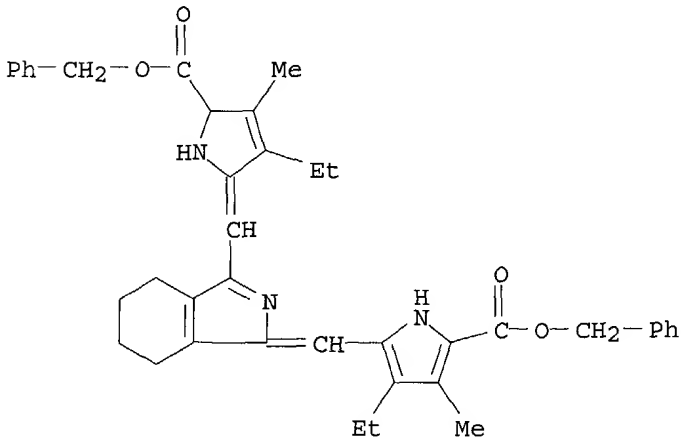
OS CASREACT 139:6702

AB The synthesis and utility of a series of porphyrins with (masked) diene and **dienophile** functionality are described. The key porphyrin diene is synthesized from a sulfolenopyrrole by a 3+1 strategy. A range of Diels-Alder cycloadducts is readily accessed from the diene by mild thermal extrusion of sulfur dioxide from the sulfolenoporphyrin, which produces the reactive porphodimethylidene. Each of these cycloadducts is fused to the porphyrin nucleus through a cyclohexene ring thus retaining some conformational flexibility in the resultant structures. The structures can be rigidified by mild oxidn. to the corresponding benzo-derivs. Diels-Alder reaction of the porphyrin 1,3-diene resulting from the sulfolenoporphyrin with norbornadiene produces the norbornene deriv., which can serve as a **dienophile** or dipolarophile in subsequent cycloaddn. reactions. Nevertheless, a preferred route to this structure is through a corresponding 1+3 route, where the norbornene component is part of the tripyrrane. Extension of the synthetic protocols allows ready access to a "mixed function" porphyrin, contg. both diene and **dienophile** components. Likewise, the synthesis of a bis-norbornene porphyrin is described. A collection of each of these reactive components is the basis for a library of building blocks which allows easy and simple entry to a wide variety of complex porphyrin-contg. superstructures.

IT **532994-04-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(establishing a library of porphyrin dienes and **dienophiles** for cycloaddn. reactions)

RN 532994-04-0 CAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-ethyl-5-[[1-[[3-ethyl-4-methyl-5-[(phenylmethoxy)carbonyl]-1H-pyrrol-2-yl]methylene]-4,5,6,7-tetrahydro-1H-isoindol-3-yl]methylene]-2,5-dihydro-3-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

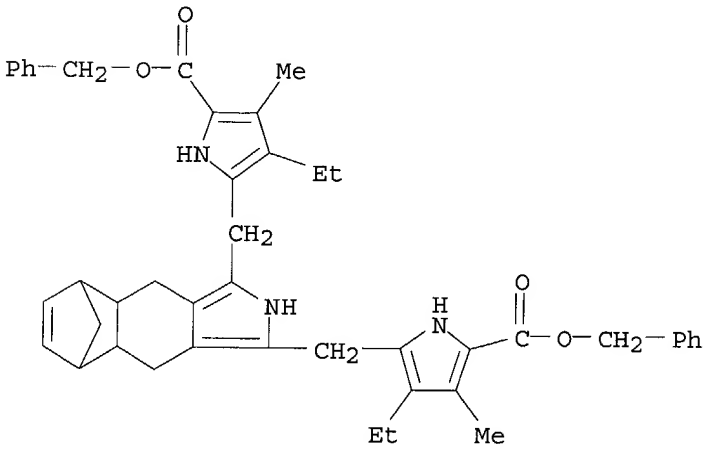


IT **532993-98-9P 532994-08-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(establishing a library of porphyrin dienes and **dienophiles** for cycloaddn. reactions)

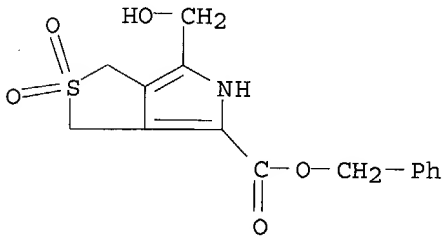
RN 532993-98-9 CAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 5,5'-[(4,4a,5,8,8a,9-hexahydro-5,8-methano-2H-benz[f]isoindole-1,3-diyl)bis(methylene)]bis[4-ethyl-3-methyl-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)



RN 532994-08-4 CAPLUS

CN 1H-Thieno[3,4-c]pyrrole-4-carboxylic acid, 3,5-dihydro-6-(hydroxymethyl)-, phenylmethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)

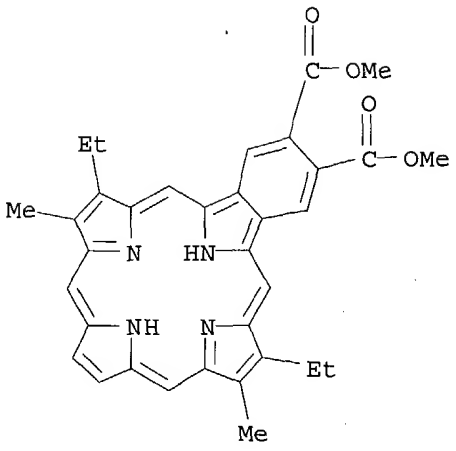


IT **532993-93-4P 532994-09-5P 532994-11-9P**

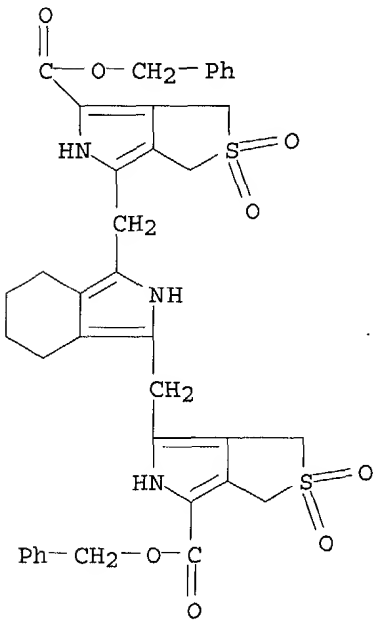
RL: SPN (Synthetic preparation); PREP (Preparation)
(establishing a library of porphyrin dienes and **dienophiles** for cycloaddn. reactions)

RN 532993-93-4 CAPLUS

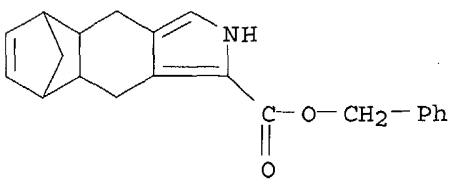
CN 23H,25H-Benzo[b]porphine-2,3-dicarboxylic acid, 8,19-diethyl-9,18-dimethyl-, dimethyl ester (9CI) (CA INDEX NAME)



RN 532994-09-5 CAPLUS
CN 1H-Thieno[3,4-c]pyrrole-4-carboxylic acid, 6,6'-[(4,5,6,7-tetrahydro-5,8-methano-2H-isoindole-1,3-diyl)bis(methylene)]bis[3,5-dihydro-, bis(phenylmethyl) ester, 2,2,2',2'-tetraoxide (9CI) (CA INDEX NAME)



RN 532994-11-9 CAPLUS
CN 5,8-Methano-2H-benz[f]isoindole-1-carboxylic acid, 4,4a,5,8,8a,9-hexahydro-, phenylmethyl ester (9CI) (CA INDEX NAME)



RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD

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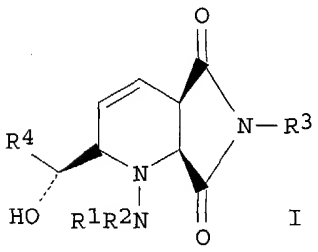
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:79487 CAPLUS
DN 139:6910
TI A three-component reaction for diversity-oriented synthesis of polysubstituted piperidines: solution and solid-phase optimization of the first tandem aza[4+2]/allylboration
AU Toure, Barry B.; Hoveyda, Hamid R.; Tailor, Jyoti; Ulaczyk-Lesanko, Agnieszka; Hall, Dennis G.
CS Department of Chemistry, Gunning-Lemieux Chemistry Centre, University of Alberta, Edmonton, AB, T6G 2G2, Can.
SO Chemistry--A European Journal (2003), 9(2), 466-474
CODEN: CEUJED; ISSN: 0947-6539
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
OS CASREACT 139:6910
GI



I

AB The design and optimization of a simple three-component aza[4+2]/allylboration reaction to access polysubstituted .alpha.-hydroxyalkyl piperidines in a highly diastereo-controlled fashion from maleimides, 4-boronohydrazonodienes, and aldehydes is described. N-Substituted maleimide undergoes [4+2] cycloaddn. with pinacolborono-azadiene R1R2NN:CHCH:CH-cyclo-BO2C6H12 (1; R1, R2 = Me, Me; H, Ph; H, 4-CF3C6H4; H, 4-MeOC6H4; Me, Ph; H, Ac; H, Boc; cyclo-BO2C6H12 = 3,3,4,4-tetramethyl-1,3,2-dioxaborolan-2-yl) in one-pot reaction with R4CHO (R4 = Ph, 4-NO2C6H4, 4-MeOC6H4, 2-MeC6H4, iPrCH2, Cy, 2,4,6-Me3C6H2, 2-MeOC6H4) to give products of allylboration of intermediate 4-borono-1,2,3,4-tetrahydropyridine derivs., compds. 5a-o (shown as I, R3 = Me, Ph). The aldehyde component does not interfere with the first aza[4+2] step, and it was found that this tandem reaction provides better yields of piperidine products 5 when carried out in one-pot. The required 4-borono-hydrazonodienes 1 are synthesized efficiently from the condensation of 3-boronoacrolein pinacol ester cyclo-BO2C6H12CH:CHCHO (4) with hydrazines. Overall, the three-component process using N-substituted maleimides as **dienophiles** produces four stereogenic centers and is quite general. It tolerates the use of a wide variety of aldehydes and hydrazine precursors with different electronic and steric characteristics. By allowing such a wide substrate scope and up to four elements of diversity, this reaction process is particularly well adapted towards applications in diversity-oriented synthesis of polysubstituted piperidine derivs. The suitability of the aza[4+2]/allylboration reaction for use in solid-phase chem. was also demonstrated using a N-arylmaleimidobenzoic acid functionalized resin. This novel multicomponent reaction thus offers a high level of stereocontrol and versatility in the prepn. of densely functionalized nitrogen heterocycles.

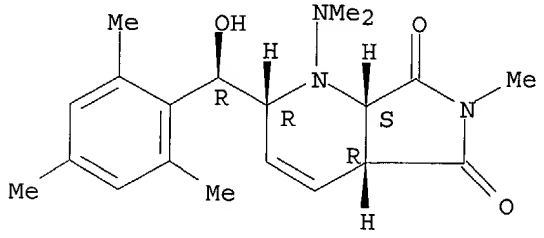
IT **535967-01-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(failed reaction; stereoselective prepn. of polysubstituted .alpha.-hydroxyalkylpiperidines by one-pot borono-azadiene cycloaddn.-allylboration tandem)

RN 535967-01-2 CAPLUS

CN 1H-Pyrrolo[3,4-b]pyridine-5,7(2H,6H)-dione, 1-(dimethylamino)-4a,7a-dihydro-2-[(R)-hydroxy(2,4,6-trimethylphenyl)methyl]-6-methyl-, (2R,4aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



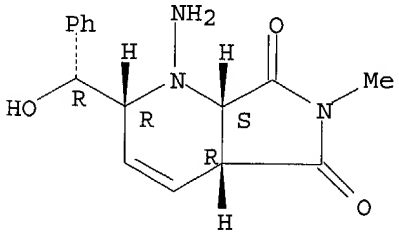
IT **535967-11-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(hydrolysis product; stereoselective prepn. of polysubstituted .alpha.-hydroxyalkylpiperidines by one-pot borono-azadiene cycloaddn.-allylboration tandem)

RN 535967-11-4 CAPLUS

CN 1H-Pyrrolo[3,4-b]pyridine-5,7(2H,6H)-dione, 1-amino-4a,7a-dihydro-2-[(R)-hydroxyphenylmethyl]-6-methyl-, (2R,4aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



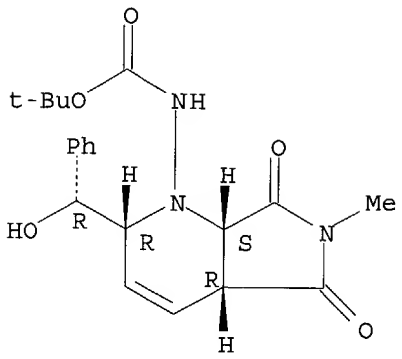
IT **535967-05-6**

RL: FMU (Formation, unclassified); RCT (Reactant); FORM (Formation, nonpreparative); RACT (Reactant or reagent)
(hydrolysis, deprotection; stereoselective prepn. of polysubstituted .alpha.-hydroxyalkylpiperidines by one-pot borono-azadiene cycloaddn.-allylboration tandem)

RN 535967-05-6 CAPLUS

CN Carbamic acid, [(2R,4aR,7aS)-2,4a,5,6,7,7a-hexahydro-2-[(R)-hydroxyphenylmethyl]-6-methyl-5,7-dioxo-1H-pyrrolo[3,4-b]pyridin-1-yl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

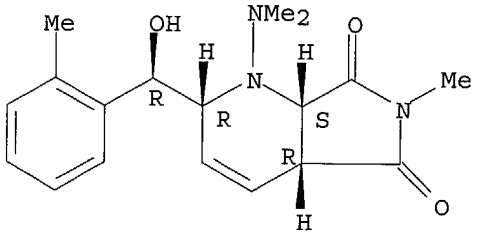
Relative stereochemistry.



IT **535966-98-4P 535967-02-3P 535967-03-4P**
535967-04-5P 535967-12-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective prepn. of polysubstituted .alpha.-hydroxyalkylpiperidines by one-pot borono-azadiene cycloaddn.-allylboration tandem)

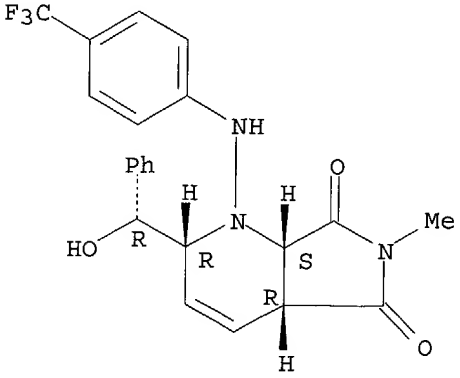
RN 535966-98-4 CAPLUS
CN 1H-Pyrrolo[3,4-b]pyridine-5,7(2H,6H)-dione, 1-(dimethylamino)-4a,7a-dihydro-2-[(R)-hydroxy(2-methylphenyl)methyl]-6-methyl-, (2R,4aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 535967-02-3 CAPLUS
CN 1H-Pyrrolo[3,4-b]pyridine-5,7(2H,6H)-dione, 4a,7a-dihydro-2-[(R)-hydroxyphenylmethyl]-6-methyl-1-[[4-(trifluoromethyl)phenyl]amino]-, (2R,4aR,7aS)-rel- (9CI) (CA INDEX NAME)

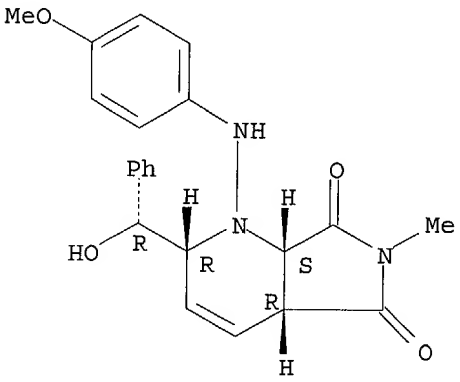
Relative stereochemistry.



RN 535967-03-4 CAPLUS
CN 1H-Pyrrolo[3,4-b]pyridine-5,7(2H,6H)-dione, 4a,7a-dihydro-2-[(R)-

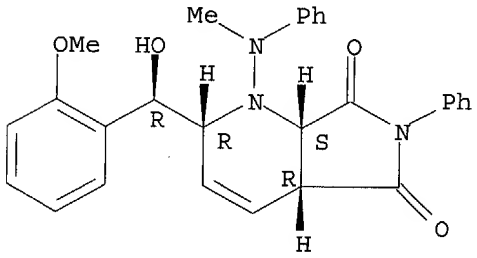
hydroxyphenylmethyl]-1-[(4-methoxyphenyl)amino]-6-methyl-, (2R,4aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



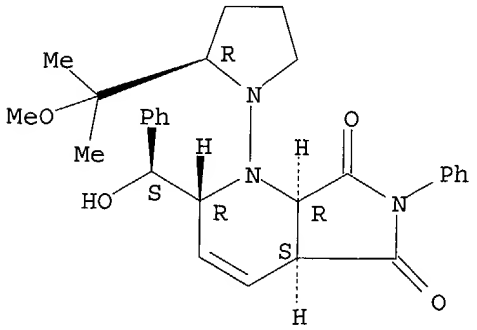
RN 535967-04-5 CAPLUS
CN 1H-Pyrrolo[3,4-b]pyridine-5,7(2H,6H)-dione, 4a,7a-dihydro-2-[(R)-hydroxy(2-methoxyphenyl)methyl]-1-(methylphenylamino)-6-phenyl-, (2R,4aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 535967-12-5 CAPLUS
CN 1H-Pyrrolo[3,4-b]pyridine-5,7(2H,6H)-dione, 4a,7a-dihydro-2-[(R)-hydroxyphenylmethyl]-1-[(2S)-2-(1-methoxy-1-methylethyl)-1-pyrrolidinyl]-6-phenyl-, (2S,4aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



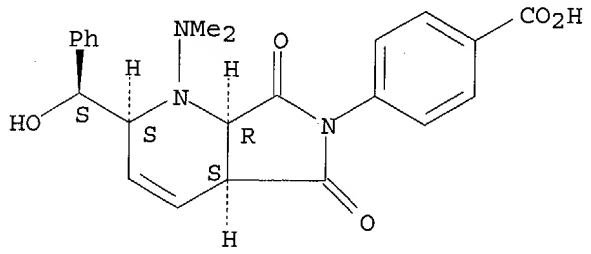
IT **535967-13-6P 535967-14-7P 535967-15-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective prepn. of polysubstituted .alpha.-

hydroxyalkylpiperidines by one-pot borono-azadiene cycloaddn.-allylboration tandem on solid support)

RN 535967-13-6 CAPLUS

CN Benzoic acid, 4-[(2R,4aR,7aS)-1-(dimethylamino)-1,2,4a,5,7,7a-hexahydro-2-[(R)-hydroxyphenylmethyl]-5,7-dioxo-6H-pyrrolo[3,4-b]pyridin-6-yl]-, rel- (9CI) (CA INDEX NAME)

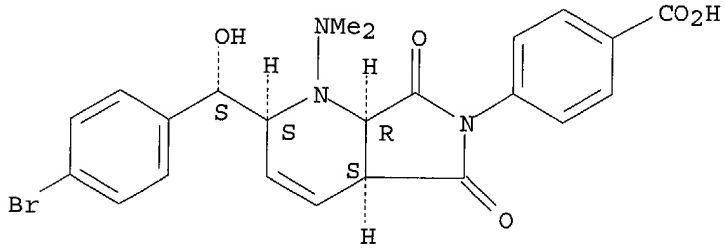
Relative stereochemistry.



RN 535967-14-7 CAPLUS

CN Benzoic acid, 4-[(2R,4aR,7aS)-2-[(R)-(4-bromophenyl)hydroxymethyl]-1-(dimethylamino)-1,2,4a,5,7,7a-hexahydro-5,7-dioxo-6H-pyrrolo[3,4-b]pyridin-6-yl]-, rel- (9CI) (CA INDEX NAME)

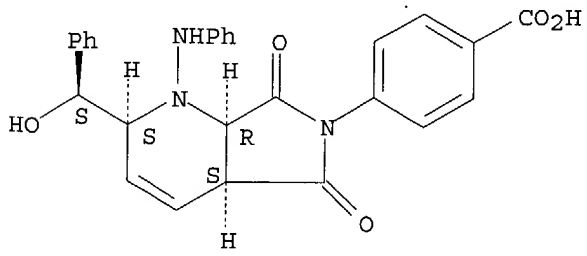
Relative stereochemistry.



RN 535967-15-8 CAPLUS

CN Benzoic acid, 4-[(2R,4aR,7aS)-1,2,4a,5,7,7a-hexahydro-2-[(R)-hydroxyphenylmethyl]-5,7-dioxo-1-(phenylamino)-6H-pyrrolo[3,4-b]pyridin-6-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:28745 CAPLUS

DN 138:368796

09567863

TI Synthesis and highly selective Diels-Alder **cycloadditions** of the new dienes N-substituted 2,3,5,6-tetrahydrobenzoxazol-2-ones

AU Martinez, Rafael; Jimenez-Vazquez, Hugo A.; Delgado, Francisco; Tamariz, Joaquin

CS Departamento de Quimica Organica, Instituto Politecnico Nacional, Escuela Nacional de Ciencias Biologicas, Mexico City, 11340, Mex.

SO Tetrahedron (2003), 59(4), 481-492
CODEN: TETRAB; ISSN: 0040-4020

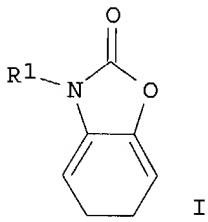
PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 138:368796

GI



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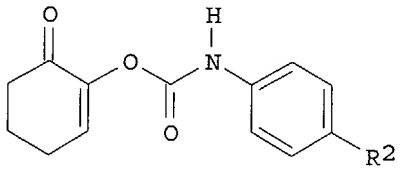
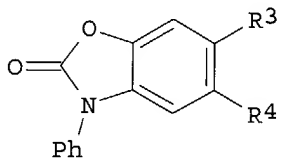


III



IV

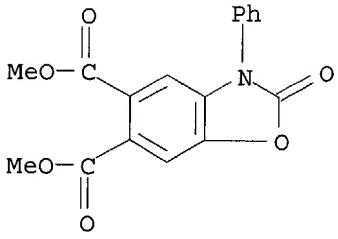
AB The synthesis of N-substituted 2,3,4,5-tetrahydrobenzoxazol-2-ones I [R1 = Ph (II), 4-ClC6H4, ClCH2CH2] is described, through a one-step convergent process from 1,2-cyclohexanedione and the corresponding isocyanates. The presence of electron-donor substituents in the aryl ring of the isocyanate gave rise to the exclusive formation of olefins III (R2 = Me, MeO). Diene II proved to be reactive and stereoselective in Diels-Alder addns. with a cyclic olefin. The reaction with acetylenic **dienophiles** yielded the 2,3-dihydrobenzoxazol-2-ones IV (R3 = H, R4 = CO2Me; R3 = CO2Me, R4 = H, CO2Me), as the products of sequential [4+2] cycloaddn. and retro-Diels-Alder reactions. Me vinyl ketone underwent regio- and stereoselective tandem Diels-Alder and Michael addns. to give a propellane mol. The regioselectivity in these reactions has been rationalized in terms of FMO theory by ab initio calcns.

IT **524740-69-0P 524740-70-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of fused oxazolidinones via Diels-Alder reaction of phenyltetrahydrobenzoxazolone with **dienophiles**)

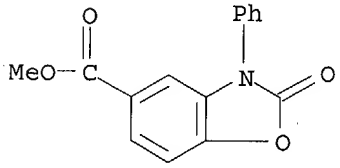
RN 524740-69-0 CAPLUS

CN 5,6-Benzoxazoledicarboxylic acid, 2,3-dihydro-2-oxo-3-phenyl-, dimethyl ester (9CI) (CA INDEX NAME)

09567863



RN 524740-70-3 CAPLUS
CN 5-Benzoxazolecarboxylic acid, 2,3-dihydro-2-oxo-3-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RE.CNT 98 THERE ARE 98 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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09567863

=> d his

(FILE 'HOME' ENTERED AT 13:50:31 ON 12 DEC 2003)

FILE 'BIOSIS, MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 13:51:06 ON 12 DEC 2003

L1 0 S IMMOBILIZ? (4A) SOLID SUPPORT? (10A) CYCLOADDITION?
L2 57 S SOLID SUPPORT? (10A) (CYCLOADDITION? OR DIELS ALDER)
L3 6 S L2 AND IMMOBILIZ? (5A) (OLIGONUCLEOTIDE? OR PEPTIDE? OR PROT
L4 6 DUP REM L3 (0 DUPLICATES REMOVED)

FILE 'REGISTRY' ENTERED AT 14:13:27 ON 12 DEC 2003
L5 STRUCTURE UPLOADED
L6 171756 S L5 FULL

FILE 'CAPLUS' ENTERED AT 14:14:02 ON 12 DEC 2003
L7 143 S L6 AND CYCLOADDITION?
L8 5 S L7 AND DIENOPHIL?

=> s l6 and diels alder
9193 L6
24670 DIELS
26926 ALDER
24106 DIELS ALDER
(DIELS(W)ALDER)
L9 123 L6 AND DIELS ALDER

=> s l9 and solid support?
893046 SOLID
638331 SUPPORT?
9186 SOLID SUPPORT?
(SOLID(W)SUPPORT?)
L10 3 L9 AND SOLID SUPPORT?

=> d l10 bib abs hitstr 1-3

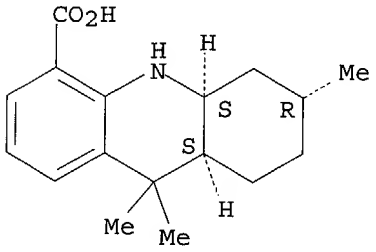
L10 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:627018 CAPLUS
DN 139:337873
TI Clean and atom-economic synthesis of octahydroacridines: application to essential oil of citronella
AU Jacob, Raquel G.; Perin, Gelson; Botteselle, Giancarlo V.; Lenardao, Eder J.
CS Departamento de Biologia e Quimica, Laboratorio de Pesquisa em Quimica, UNIJUI, Ijui, 98700-000, Brazil
SO Tetrahedron Letters (2003), 44(36), 6809-6812
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science B.V.
DT Journal
LA English
AB A green and efficient method for the synthesis of octahydroacridine (OHA) has been developed by a simple one-pot hetero-**Diels-Alder** reaction starting from (+)-citronellal and N-arylamines in the presence of a **solid supported** catalyst (SiO2/ZnCl2), under MW irradn. and without any solvent. The method was used in the direct prepn. of OHA from citronella oil in good yield. The reaction of (+)-citronellal with 2-methylbenzenamine gave a separable mixt. of (3R,4aS,9aS)-1,2,3,4,4a,9,9a,10-octahydro-3,5,9,9-tetramethylacridine (I) and (3R,4aS,9aR)-1,2,3,4,4a,9,9a,10-octahydro-3,5,9,9-tetramethylacridine (II). The same reaction using essential oil

of citronella (from Cymbopogon nardus) and 2-methylbenzenamine gave a mixt. of I and II in 79% yield and unreacted geraniol, citronellol, geranyl acetate and other minor constituents.

IT **617693-04-6P 617693-05-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(clean and atom-economic synthesis of octahydroacridines from citronellal or essential oil of citronella and benzenamine derivs.)

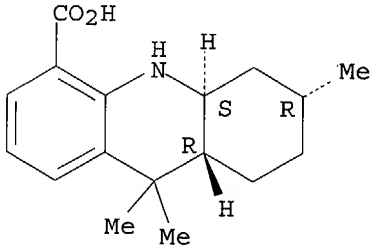
RN 617693-04-6 CAPLUS
CN 4-Acridinecarboxylic acid, 5,6,7,8,8a,9,10,10a-octahydro-6,9,9-trimethyl-, (6R,8aS,10aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 617693-05-7 CAPLUS
CN 4-Acridinecarboxylic acid, 5,6,7,8,8a,9,10,10a-octahydro-6,9,9-trimethyl-, (6R,8aR,10aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:591362 CAPLUS
DN 139:149462
TI Novel diene capping reagents for the integrated synthesis and purification of oligonucleotides with increased yields and efficient removal of failure sequences
IN Pieken, Wolfgang; Wolter, Andreas; Leuck, Michael
PA Proligo, Llc, USA
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003062452	A2	20030731	WO 2003-US2008	20030122

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003195351 A1 20031016 US 2003-349195 20030122

PRAI US 2002-351991P P 20020123

OS MARPAT 139:149462

AB The present invention discloses novel methods for the integrated synthesis and purifn. of oligonucleotides. The methods employ novel capping reagents carrying two functional groups. The first functional group provides for a smooth and efficient capping process and incorporates the second functional group into contaminant oligonucleotides during solid phase oligonucleotide synthesis. The second functional group functions as a chem. purifn. handle in the trapping of truncated oligonucleotides (failure sequences) on a **solid support**. The trapping process creates covalent bonds between the **solid support** and the truncated oligonucleotides and therefore allows the removal of the truncated sequences from the desired full length oligonucleotide product by filtration. The chem. trapping process employed in this invention is based on cycloaddn. reactions, particularly **Diels-Alder** reactions between the truncated oligonucleotides and the trapping agent. The invention includes novel **solid support** compns. that carry covalently attached **Diels-Alder** reaction components.

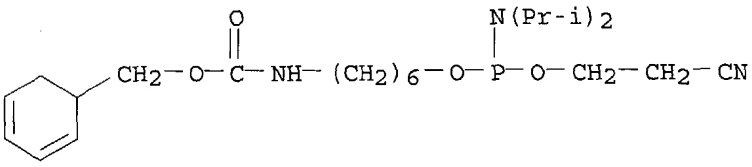
IT **570412-68-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reactions in oligonucleotide synthesis of; novel diene capping reagents for integrated synthesis and purifn. of oligonucleotides with increased yields and efficient removal of failure sequences)

RN 570412-68-9 CAPLUS

CN 9,11-Dioxa-2-aza-10-phosphatridecanoic acid, 10-[bis(1-methylethyl)amino]-13-cyano-, 2,4-cyclohexadien-1-ylmethyl ester (9CI) (CA INDEX NAME)



IT **570412-69-0P**

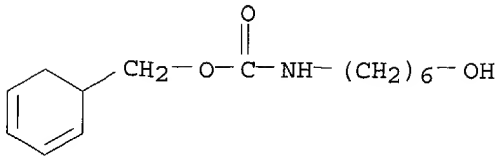
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reactions of in prepn. phosphoramidite derivs.; novel diene capping reagents for integrated synthesis and purifn. of oligonucleotides with increased yields and efficient removal of failure sequences)

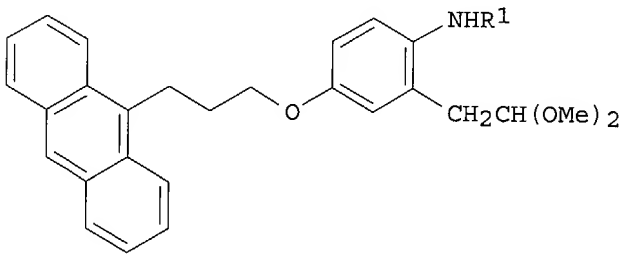
RN 570412-69-0 CAPLUS

CN Carbamic acid, (6-hydroxyhexyl)-, 2,4-cyclohexadien-1-ylmethyl ester (9CI) (CA INDEX NAME)

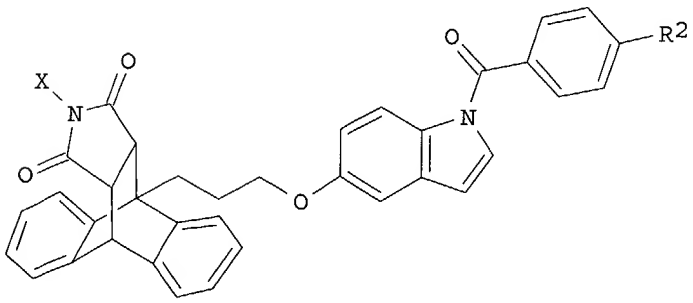
09567863



L10 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:326931 CAPLUS
DN 139:85093
TI A Novel Anthracenyl Tagged Protecting Group for "Phase-Switching" Applications in Parallel Synthesis
AU Li, Xin; Abell, Chris; Ladlow, Mark
CS University Chemical Laboratory, University of Cambridge, Cambridge, CB2 1EW, UK
SO Journal of Organic Chemistry (2003), 68(11), 4189-4194
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
GI



I



II

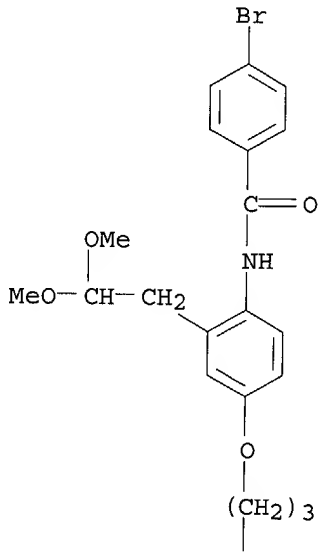
AB A new "phase-switching" protecting group I (R1 = H) that facilitates the parallel synthesis of carboxylic acids, esters, and carboxamides is described. Acylation of I with 4-bromobenzoyl chloride gave the amide I (R1 = 4-BrC6H4CO), which was immobilized on **solid support** via **Diels-Alder** cycloaddn. with maleimide functionalized polystyrene resin and underwent Suzuki coupling with a series of boronic acids R2B(OH)2 (R2 = 4-MeOC6H4, 4-FC6H4, 3-thienyl) followed by intramol. heterocyclization to give the

corresponding N-acyl indoles II (X = **solid support**).
A series of carboxylic acids, esters, and carboxamides 4-R2C6H4COR3 (R3 = HO, MeO, PrN) was then prepd. via activation of the "safety-catch" followed by cleavage of II on treatment with the desired nucleophile.

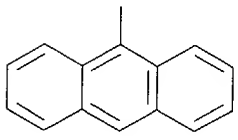
IT **556809-46-2P 556809-47-3DP**, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(combined solid- and liq.-phase parallel synthesis of arom. carboxylic acids, esters and amides via Suzuki coupling using anthracenyl tagged protecting group)

RN 556809-46-2 CAPLUS
CN Benzamide, N-[4-[3-(9-anthracenyl)propoxy]-2-(2,2-dimethoxyethyl)phenyl]-4-bromo- (9CI) (CA INDEX NAME)

PAGE 1-A

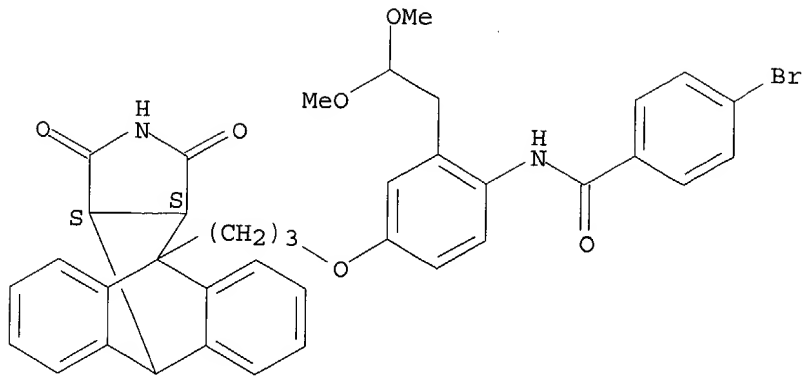


PAGE 2-A



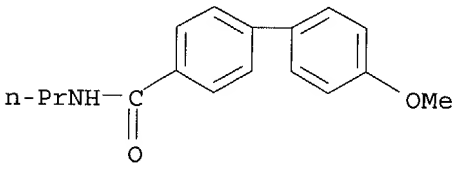
RN 556809-47-3 CAPLUS
CN Benzamide, 4-bromo-N-[2-(2,2-dimethoxyethyl)-4-[3-[(3aR,9aR)-1,2,3,3a,9,9a-hexahydro-1,3-dioxo-4,9[1',2']-benzeno-4H-benz[f]isoindol-4-yl]propoxy]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

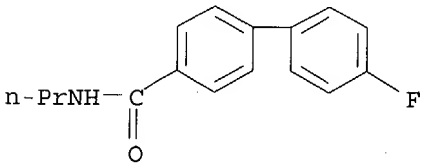


IT **556809-48-4P 556809-49-5P 556809-50-8P**
556809-51-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(combined solid- and liq.-phase parallel synthesis of arom. carboxylic acids, esters and amides via Suzuki coupling using anthracenyl tagged protecting group)

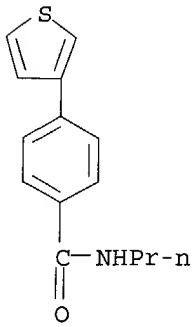
RN 556809-48-4 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-methoxy-N-propyl- (9CI) (CA INDEX NAME)



RN 556809-49-5 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-fluoro-N-propyl- (9CI) (CA INDEX NAME)



RN 556809-50-8 CAPLUS
CN Benzamide, N-propyl-4-(3-thienyl)- (9CI) (CA INDEX NAME)



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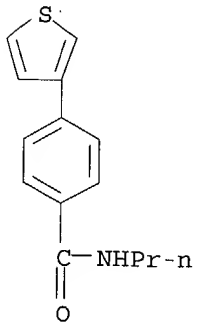
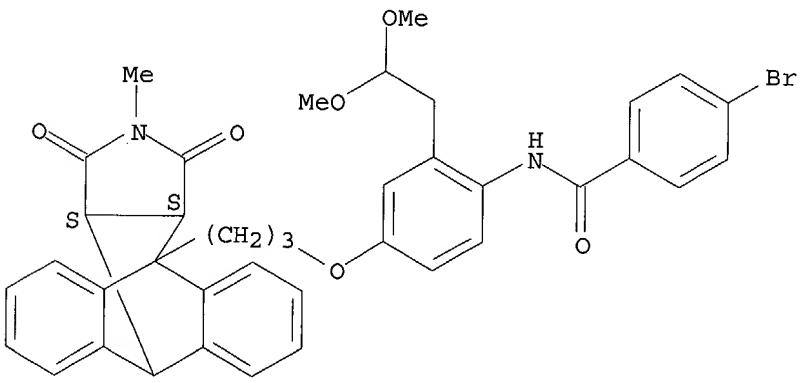


RN 556809-51-9 CAPLUS
CN Benzamide, 4-bromo-N-[2-(2,2-dimethoxyethyl)-4-[3-[(3aR,9aR)-1,2,3,3a,9,9a-hexahydro-2-methyl-1,3-dioxo-4,9[1',2']-benzeno-4H-benz[f]isoindol-4-yl]propoxy]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

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FULL ESTIMATED COST	ENTRY	SESSION
	0.21	0.21

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*** YOU HAVE NEW MAIL ***

=> s immobiliz? (4a) solid support? (10a) cycloaddition?
L1 0 IMMOBILIZ? (4A) SOLID SUPPORT? (10A) CYCLOADDITION?

=> s solid support? (10a) (cycloaddition? or diels alder)
L2 57 SOLID SUPPORT? (10A) (CYCLOADDITION? OR DIELS ALDER)

=> s l2 and immobiliz? (5a) (oligonucleotide? or peptide? or protein? or label? or molecule? or antibodie? or drug?)
2 FILES SEARCHED...
L3 6 L2 AND IMMOBILIZ? (5A) (OLIGONUCLEOTIDE? OR PEPTIDE? OR PROTEIN ? OR LABEL? OR MOLECULE? OR ANTIBODIE? OR DRUG?)

=> dup rem l3
PROCESSING COMPLETED FOR L3
L4 6 DUP REM L3 (0 DUPLICATES REMOVED)

=> d l4 bib abs 1-6

L4 ANSWER 1 OF 6 USPATFULL on STN
AN 2003:277324 USPATFULL
TI Methods for the integrated synthesis and purification of oligonucleotides
IN Pieken, Wolfgang, Boulder, CO, UNITED STATES
Wolter, Andreas, Hamburg, GERMANY, FEDERAL REPUBLIC OF
Leuck, Michael, Boulder, CO, UNITED STATES
PA PROLIGO, LLC, Boulder, CO (U.S. corporation)
PI US 2003195351 A1 20031016
AI US 2003-349195 A1 20030122 (10)
PRAI US 2002-351991P 20020123 (60)
DT Utility
FS APPLICATION
LREP SWANSON & BRATSCHUN L.L.C., 1745 SHEA CENTER DRIVE, SUITE 330, HIGHLANDS RANCH, CO, 80129
CLMN Number of Claims: 23
ECL Exemplary Claim: 1
DRWN 6 Drawing Page(s)
LN.CNT 1365
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention discloses novel methods for the integrated synthesis and purification of oligonucleotides. The methods employ novel capping reagents carrying two functional groups. The first functional

group provides for a smooth and efficient capping process and incorporates the second functional group into contaminant oligonucleotides during solid phase oligonucleotide synthesis. The second functional group functions as a chemical purification handle in the trapping of truncated oligonucleotides (failure sequences) on a solid support. The trapping process creates covalent bonds between the solid support and the truncated oligonucleotides and therefore allows the removal of the truncated sequences from the desired full length oligonucleotide product by filtration. The chemical trapping process employed in this invention is based on cycloaddition reactions, particularly Diels-Alder reactions between the truncated oligonucleotides and the trapping agent. The invention includes novel **solid support** compositions that carry covalently attached **Diels-Alder** reaction components.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 2 OF 6 USPATFULL on STN
AN 2003:237845 USPATFULL
TI Triazine library with linkers
IN Chang, Young-Tae, New York, NY, UNITED STATES
Moon, Ho-Sang, Gyeonggi-do, KOREA, REPUBLIC OF
Khersonsky, Sonya M., New York, NY, UNITED STATES
PI US 2003166002 A1 20030904
AI US 2002-267044 A1 20021009 (10)
PRAI US 2001-339294P 20011212 (60)
DT Utility
FS APPLICATION
LREP BROWDY AND NEIMARK, P.L.L.C., 624 Ninth Street, N.W., Washington, DC, 20001
CLMN Number of Claims: 16
ECL Exemplary Claim: 1
DRWN 5 Drawing Page(s)
LN.CNT 719
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Triazine linkers can be used as universal small molecule chips for functional proteomics and sensors. These compounds are prepared by making a first building block by adding a first amine by reductive amination of triazine, making a second building block by adding a second amine to cyanuric chloride, and combining the first and second building blocks by aminating the first building block onto one of the chloride positions of the second building block.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 3 OF 6 USPATFULL on STN
AN 2003:140424 USPATFULL
TI Phosphoramidites for coupling oligonucleotides to [2 + 2] photoreactive groups
IN Brush, Charles K., Whitefish Bay, WI, UNITED STATES
Elghanian, Robert, Skokie, IL, UNITED STATES
Xu, Yanzheng, Redwood Shore, CA, UNITED STATES
PA Motorola, Inc. (U.S. corporation)
PI US 2003096265 A1 20030522
AI US 2002-185279 A1 20020628 (10)
RLI Continuation-in-part of Ser. No. US 2001-928250, filed on 9 Aug 2001, PENDING Continuation-in-part of Ser. No. US 1999-344620, filed on 25 Jun 1999, GRANTED, Pat. No. US 6372813
DT Utility
FS APPLICATION
LREP BRINKS HOFER GILSON & LIONE, P.O. Box 10395, Chicago, IL, 60610
CLMN Number of Claims: 42

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ECL Exemplary Claim: 1
DRWN 2 Drawing Page(s)
LN.CNT 1047
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Photoreactive phosphoramidites useful for attaching photoreactive sites to nucleic acids and oligonucleotides are synthesized. The resultant nucleic acid or oligonucleotide probes incorporating the photoreactive sites are then attached to a polymer-coated support by a [2+2] cycloaddition to form a microarray.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:12732 CAPLUS
DN 134:68455
TI Methods and compositions for attachment of biomolecules to solid supports, hydrogels, and hydrogel arrays
IN Johnson, Travis; McGowen, John; Beuhler, Allyson; Brush, Charles Kimball; Lajos, Robert Emil
PA Motorola Inc., USA
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001001143	A2	20010104	WO 2000-US17422	20000623
	WO 2001001143	A3	20010308		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6372813	B1	20020416	US 1999-344620	19990625
	EP 1190254	A2	20020327	EP 2000-941693	20000623
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2003524150	T2	20030812	JP 2001-507097	20000623
	US 2003078314	A1	20030424	US 2001-976986	20011011
PRAI	US 1999-344620	A	19990625		
	WO 2000-US17422	W	20000623		

AB The present invention provides solid supports (e.g., glass) and polymer hydrogels (particularly polymer hydrogel arrays present on a solid support) comprising one or more reactive sites for the attachment of biomols., as well as biomols. comprising one or more reactive sites for attachment to solid supports and polymer hydrogels. The invention further provides novel compns. and methods for the prepn. of biomols., solid supports and polymer hydrogels comprising reactive sites. The invention also provides for prepn. of crosslinked solid supports, polymer hydrogels, and hydrogel arrays, wherein one or more biomols. is attached by means of the reactive sites in a photocycloaddn. reaction. Advantageously, according to the invention, crosslinking of the hydrogel and attachment of biomols. can be done in a single step. Photopolymer polyacrylamide co-N-(6-acryloylhexyl)-2,3-dimethylmaleimide was prepd. This polymer is coated on a solid support and exposed to UV radiation to photocrosslink and form a hydrogel. Unreacted maleimide functional groups in the hydrogel are then reacted with maleimide-functionalized DNA

oligonucleotide.

L4 ANSWER 5 OF 6 USPATFULL on STN
AN 2001:4471 USPATFULL
TI Methods of making polymeric arrays
IN Perbost, Michel G. M., Cupertino, CA, United States
PA Agilent Technologies Inc., Palo Alto, CA, United States (U.S. corporation)
PI US 6171797 B1 20010109
AI US 1999-421952 19991020 (9)
DT Patent
FS Granted
EXNAM Primary Examiner: Brusca, John S.; Assistant Examiner: Lundgren, Keffrey S.
LREP Stewart, Gordon
CLMN Number of Claims: 32
ECL Exemplary Claim: 1
DRWN 3 Drawing Figure(s); 2 Drawing Page(s)
LN.CNT 857
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Methods are provided for making arrays of distinct polymers covalently bonded to the surface of the a solid support. In the subject methods, at least two distinct polymers, e.g. nucleic acids, are contacted with the surface of a solid support under conditions sufficient for the nucleic acids to become covalently bonded to the surface of the **solid support** through a **cycloaddition** reaction, e.g. through the reaction of a diene with a dienophile. Also provided are arrays produced by the subject methods, kits comprising the same and methods for using the arrays in analyte detection, e.g. hybridization, assays.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 6 OF 6 USPATFULL on STN
AN 93:50606 USPATFULL
TI Sequential peptide and oligonucleotide syntheses using immunoaffinity techniques
IN Coolidge, Thomas R., Falls Village, CT, United States
Lewis, William, Lincoln, NE, United States
Schuster, Sheldon M., Gainesville, FL, United States
Wylie, Dwane, Lincoln, NE, United States
Wagner, Fred W., Walton, NE, United States
Stout, Jay, Lincoln, NE, United States
van Heeke, Gino, Gainesville, FL, United States
PA BioNebraska, Inc., Lincoln, NE, United States (U.S. corporation)
Board of Regents of the University of Nebraska, Lincoln, NE, United States (U.S. corporation)
PI US 5221736 19930622
AI US 1989-454372 19891221 (7)
RLI Continuation-in-part of Ser. No. US 1988-288009, filed on 21 Dec 1988, now patented, Pat. No. US 5049656
DT Utility
FS Granted
EXNAM Primary Examiner: Moskowitz, Margaret; Assistant Examiner: Marschel, Ardin H.
LREP Merchant, Gould, Smith, Edell, Welter & Schmidt
CLMN Number of Claims: 33
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1822
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention is directed to a method of purifying sequentially synthesized peptides and oligonucleotides by affinity techniques.

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Selected products are capped with and N-terminus capping agent for peptides or a 5'-terminus capping agents for oligonucleotides, and then bound with affinity agents that are selective for the corresponding capping agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> d l4 6 kwic

L4 ANSWER 6 OF 6 USPATFULL on STN

SUMM . . . Matteuci, M. D. and Caruthers, M. H., J. Amer. Chem. Soc., 103, 3185-3191 (1980), these syntheses are accomplished with the **peptide** or **oligonucleotide immobilized** on a solid support. An extremely large number of peptides or oligonucleotides can be produced by this methodology. The physical. . .

SUMM In the method of **immobilized peptide** synthesis, the carboxyl terminal amino acid is bound to a polyvinyl benzene or other suitable insoluble resin. The second amino. . .

SUMM . . . In general, the oligonucleotide synthetic procedure follows the well-established 3'-phosphoramidite schemes devised by Caruthers. The 3'terminal base of the desired **oligonucleotide** is **immobilized** on an insoluble carrier. The nucleotide base to be added is blocked at the 5' hydroxyl and activated at the. . .

SUMM As is true for the peptides, this nucleotide coupling procedure is not 100% efficient. The **immobilized oligonucleotide molecules** that do not couple result in oligonucleotides of incorrect sequences. These failed oligonucleotides often cause undesirable reactions if left in. . .

SUMM This mixture of peptides is preferably combined with an immunoaffinity resin containing **immobilized antibodies** (monoclonal or polyclonal or antibody fragments of monoclonal or polyclonal antibodies) against the cap functional group. The capped peptides are. . .

SUMM . . . Immunol. Methods, 64, 141-146 (1983) the disclosures of which are herein incorporated by reference. Briefly, the lyophylized monoclonal or polyconal **antibodies** are digested with an **immobilized** protease, such as papain, followed by chromatograhic separation with, for example, **immobilized Protein** A.

SUMM . . . The immobilized papain is washed with binding buffer, and the wash solution is added to the crude digested product. An **immobilized Protein** A column is equilibrated with binding buffer and the crude digested solution can be applied to the column. The Protein. . .

SUMM . . . a pH of 7.5. The resulting solution can be mixed and centrifuged. The resulting supernatant can be applied to an **Immobilized Protein** A column, which is previously equilibrated with Tris-HCl, pH 7.5. The column can be washed with Tris buffer, pH 7.5.. . .

SUMM . . . carbonic anhydrase B and C. The carbonic anhydrase enzyme, which serves as the affinity agent, is then bound to an **immobilized protein** on a solid support, by conventional technology, such as the use of carbonyl diamidazole to couple proteins to carbohydrate particulates. Thereafter, the capped peptide is applied to the affinity column containing the **immobilized** carbonic anhydrase. The capped **peptide** selectively binds to the active site of the immobilized carbonic anhydrase, and only the uncapped peptide elutes enzyme affinity agent. . .

SUMM . . . its derivatives which form phosphoesters with the oligonucleotides or phosphoamides with peptides. This final t-Boc capping group will react with **immobilized** thiamine-binding

protein from E. coli (See A. Matsura et al., Methods Enzymol., 34, 303-304 (1974), the disclosure of which is herein incorporated. . .

SUMM . . . peptide or oligonucleotide through an acid chloride or anhydride reaction. Thereafter, the capped, selected products are removed by either a **Diels-Alder** reaction in which the **solid support** in the purification carries a diene, such as maleic anhydride, or by the addition of a radical initiating reagent, such. . .

SUMM . . . requires additional steps to be added to each synthetic cycle. Following the reaction of the activated amino acid with the **immobilized peptide**, the resulting product mixture is reacted with a capping agent of the particular methodology being employed. The capping agent reacts. . .

SUMM . . . with the added activated nucleotide also requires an additional step. Following the reaction of the 3'-activated, 5'-blocked nucleotide with the **immobilized** deprotected **oligonucleotide**, the product mixture is reacted with a capping agent of the selected methodology. The capping agent readily combines with the 5'-hydroxyl groups of the unreacted, **immobilized oligonucleotide** . Oxidization of the phosphite group of the capped nucleotide produces a phosphate group. The resulting capped side product is stable. . .

DETD . . . groups (as well as those blocking groups removed in step 8) are eluted through an immunoaffinity resin. The resin possesses **immobilized antibodies** to either the DMT group or to the NPA (3-nitrophthalic group). In the former case the desired 5' blocked oligonucleotide. . .

CLM What is claimed is:

33. A method according to claim 20, 22, 24, 26 or 27 wherein the **antibodies** are **immobilized**.

=>